What is claimed is:

1. A compound of formula I:

$$(Y_1)_a \xrightarrow{A} \begin{matrix} R_1 & O \\ N & I \\ R_1 \end{matrix} \begin{matrix} R_2 \end{matrix}$$

I

10

5 wherein, independently for each occurrence,

L is a bond or L is alkyl, alkenyl, or cycloalkyl which may be substituted with one or more R_1 ;

A is a bicyclic heteroaryl ring of 8-12 atoms or a tricyclic ring of 12-16 atoms, wherein the heteroaryl rings contain 1-4 heteroatoms selected from N, S, and O, and wherein the heteroaryl rings are optionally substituted with one or more groups selected from C₁₋₄ alkyl, CH₂OH, OR", SR", CN, N(R")₂, CH₂N(R")₂, NO₂, CF₃, CO₂R", CON(R")₂, COR", NR"C(O)R", F, Cl, Br, I and -S(O)_rCF₃, wherein R" is H, alkyl or alkaryl;

 R_1 is, independently for each occurrence, H, alkyl, cycloalkyl, aryl, or alkaryl;

15 R₂ is

wherein, independently for each occurrence,

B is a bond, $C(R_1)_2$ or C=O;

E is O or S;

5

15

$$N-B-(CH_2)_b-B-Q \stackrel{R_1}{\longrightarrow} D \quad \text{is} \quad C(R_1)_2, \quad NR_1, \quad C=O, \qquad \qquad R_1, \quad \text{or} \quad N-B-(CH_2)_b-B-Q \stackrel{(CH_2)_n}{\longrightarrow} D \quad \text{is} \quad C(R_1)_2, \quad NR_1, \quad C=O, \qquad \qquad R_1, \quad \text{or} \quad R_1, \quad \text{or} \quad R_2, \quad R_3, \quad R_4, \quad R_4, \quad R_5, \quad R_5, \quad R_6, \quad R_6, \quad R_6, \quad R_6, \quad R_7, \quad R_8, \quad R_8$$

$$N-B-(CH_2)_b-B-Q$$
 R_1
 R_1
 R_1
 R_1
 R_2
 R_3
 R_4
 R_4
 R_5
 R_6
 R_6
 R_6
 R_6
 R_6
 R_6
 R_6
 R_7
 R_8
 R_9
 R_9

J is NR_1 , CH_2 , CH_2CH_2 , or O;

M is CR₁ or N;

Q is N or CH;

U is O, H₂, or CH₂;

X is H, C_{1-4} alkyl, CH_2OH , OR_1 , SR_1 , CN, $N(R_1)_2$, $CH_2N(R_1)_2$, NO_2 , CF_3 ,

 CO_2R_1 , $CON(R_1)_2$, COR_1 , $NR_1C(O)R_1$, F, Cl, Br, I, -S(O)_rCF₃,

$$B-(CH_2)_{b-B-Q}$$
 $(CH_2)_{n}$
 $B-(CH_2)_{b-B-Q}$
 $(CH_2)_{n}$
 $(CH_$

Z is H, C_{1-4} alkyl, $N(R_1)_2$, $NHC(O)R_1$, $NHCH_2C(O)R_1$ or $NHC(O)CH=CHR_1$;

r is 0, 1, or 2;

 R_6 is C(O)OR₁;

R₁ is as previously defined;

b is an integer from 0-4;

R₃ is alkyl or cycloalkyl;

a is an integer from 0-4; and

Y₁ is

5

wherein,

R₄ is a water solubilizing group;

R₅ is H, alkyl, or cycloalkyl; and

n is an integer from 0 to 4;

or a pharmaceutically acceptable salt thereof.

2. The compound of claim 1, wherein L is a C₂ alkenyl.

3. The compound of claim 1, wherein L is a C_2 alkenyl and R_2 is wherein B is C=O.

4. The compound of claim 1, wherein L is a C_2 alkenyl and R_2 is

$$N-B-(CH_2)_b-B-Q$$
 $(CH_2)_n$
 $(CH_2)_n$

15

wherein G is

5. The compound of claim 1, wherein L is a C_2 alkenyl and R_2 is wherein R_1 is H.

6. The compound of claim 1, wherein L is a C_2 alkenyl and R_2 is wherein R_1 is H and the D adjacent to B is NR_1 .

- 7. The compound of claim 1, wherein L is a C_2 alkenyl and R_2 is Z, wherein Z is $N(R_1)_2$.

$$C-B-(CH_2)_b-B-Q$$
(CH₂)_n
(CH₂)_n

Z is $N(R_1)_2$ and Q is

- 5 9. The compound of claim 1, wherein A is a 6 membered monocyclic aryl.
 - 10. The compound of claim 1, wherein A is a 10 membered bicyclic aryl.
 - 11. The compound of claim 1, wherein A is a 12 membered tricyclic aryl.
 - 12. The compound of claim 1, wherein A is an 8 membered bicyclic heteroaryl.
 - 13. The compound of claim 1, wherein A is a 9 membered bicyclic heteroaryl.
- 10 14. The compound of claim 1, wherein A comprises at least 1 heteroatom.
 - 15. The compound of claim 1, wherein A comprises at least 2 heteroatoms.
 - 16. The compound of claim 1, wherein A comprises at least 1 nitrogen atom.
 - 17. The compound of claim 1, wherein A comprises at least 1 oxygen atom.
 - 18. The compound of claim 1, wherein A comprises at least 1 sulfur atom.
- 15 19. The compound of claim 1, wherein A comprises at least 2 sulfur atoms.
 - 20. The compound of claim 1, wherein the compound is selected from the following: (E)-N-Methyl-3-(4-methyl-2-oxo-2,3,4,5-tetrahydro-1H-pyrido[2,3-e][1,4]diazepin-7-yl)-N-(1-propyl-naphthalen-2-ylmethyl)acrylamide hydrochloride; (E)-3-(3,3-Dimethyl-2-oxo-2,3,4,5-tetrahydro-1H-pyrido[2,3-e][1,4]diazepin-7-yl)-
- N-methyl-N-(3-methyl-benzo[b]thiophen-2-ylmethyl)acrylamide hydrochloride;

 (E)-N-Methyl-3-(4-methyl-2-oxo-2,3,4,5-tetrahydro-1H-pyrido[2,3-e][1,4]diazepin-7-yl)-N-naphthalen-2-ylmethyl-acrylamide hydrochloide;

 (E)-N-Methyl-3-(4-methyl-2-oxo-2,3,4,5-tetrahydro-1H-pyrido[2,3-e][1,4]diazepin-
 - 7-yl)-N-naphthalen-1-ylmethyl-acrylamide hydrochloride;
- 25 (E)-N-(4-Acetylamino-benzyl)-N-methyl-3-(7-oxo-5,6,7,8-tetrahydro-[1,8]naphthyridin-3-yl)acrylamide;
 - (E)-N-(4-Methanesulfonyl-benzyl)-N-methyl-3-(7-oxo-5,6,7,8-tetrahydro-[1,8]naphthyridin-3-yl)acrylamide;

| | (E)-N-(2-Methoxy-naphthalen-1-ylmethyl)-N-methyl-3-(7-oxo-5,6,7,8-tetrahydro- |
|----|--|
| | [1,8]naphthyridin-3-yl)acrylamide; |
| | (E)-N-Methyl-N-(4-methyl-naphthalen-1-ylmethyl)-3-(7-oxo-5,6,7,8-tetrahydro- |
| | [1,8]naphthyridin-3-yl)acrylamide; |
| 5 | (E)- N - $(2,3$ -Dimethyl-benzyl)- N -methyl- 3 - $(7$ -oxo- $5,6,7,8$ -tetrahydro- |
| | [1,8]naphthyridin-3-yl)acrylamide; |
| | (E)-N-(4-Isopropyl-benzyl)-N-methyl-3-(7-oxo-5,6,7,8-tetrahydro- |
| | [1,8]naphthyridin-3-yl)acrylamide; |
| | (E)-N-Indan-5ylmethyl-N-methyl-3-(7-oxo-5,6,7,8-tetrahydro-[1,8]naphthyridin-3- |
| 10 | yl)acrylamide; |
| | (E)-N-Indan-5ylmethyl-N-methyl-3-(4-methyl-2-oxo-2,3,4,5-tetrahydro-1 H - |
| | pyrido[2,3-e][1,4]diazepin-7-yl)acrylamide hydrochloide; |
| | (E)- N -Methyl- N - $(3$ -methyl-benzo $[b]$ thiophen- 2 -ylmethyl)- 3 - $(4$ -methyl- 2 -oxo- |
| | 2,3,4,5-tetrahydro-1 <i>H</i> -pyrido[2,3- <i>e</i>][1,4]diazepin-7-yl)acrylamide hydrochloride; |
| 15 | (E)- N - $(3,5$ -Dimethoxy-benzyl)- N -methyl- 3 - $(4$ -methyl- 2 -oxo- $2,3,4,5$ -tetrahydro- $1H$ - |
| | pyrido[2,3-e][1,4]diazepin-7-yl)acrylamide hydrochloride; |
| | (E)-N-[2-(1H-Indol-3-yl)-ethyl]-N-methyl-3-(4-methyl-2-oxo-2,3,4,5-tetrahydro-1)-(2-(1H-Indol-3-yl)-ethyl]-N-methyl-3-(4-methyl-2-oxo-2,3,4,5-tetrahydro-1)-(2-(1H-Indol-3-yl)-ethyl)-N-methyl-3-(4-methyl-2-oxo-2,3,4,5-tetrahydro-1)-(2-(1H-Indol-3-yl)-ethyl)-N-methyl-3-(4-methyl-2-oxo-2,3,4,5-tetrahydro-1)-(2-(1H-Indol-3-yl)-ethyl)-N-methyl-3-(4-methyl-2-oxo-2,3,4,5-tetrahydro-1)-(2-(1H-Indol-3-yl)-ethyl)-N-methyl-3-(4-methyl-2-oxo-2,3,4,5-tetrahydro-1)-(2-(1H-Indol-3-yl)-ethyl)-N-methyl-3-(4-methyl-2-oxo-2,3,4,5-tetrahydro-1)-(2-(1H-Indol-3-yl)-ethyl)-N-methyl-3-(4-methyl-3-oxo-2,3,4,5-tetrahydro-1)-(2-(1H-Indol-3-yl)-ethyl-3-(4-methyl-3-oxo-2,3,4,5-tetrahydro-1)-(2-(1H-Indol-3-yl)-ethyl-3-(4-methyl-3-oxo-2,3,4,5-tetrahydro-1)-(2-(1H-Indol-3-yl)-ethyl-3-(4-methyl-3-oxo-2,3,4,5-tetrahydro-1)-(2-(1H-Indol-3-yl)-ethyl-3-(4-methyl-3-oxo-2,3,4,5-tetrahydro-1)-(2-(1H-Indol-3-yl)-ethyl-3-(4-methyl-3-oxo-2,3,4,5-tetrahydro-1)-(2-(1H-Indol-3-yl)-ethyl-3-(4-methyl-3-oxo-2,3,4,5-tetrahydro-1)-(2-(1H-Indol-3-yl)-ethyl-3-(4-methyl-3-oxo-2,3,4,5-tetrahydro-1)-(2-(1H-Indol-3-yl)-ethyl-3-(4-methyl-3-oxo-2,3,4,5-tetrahydro-1)-(2-(1H-Indol-3-yl)-ethyl-3-(4-methyl-3-oxo-2,3,4,5-tetrahydro-1)-(2-(1H-Indol-3-yl)-ethyl-3-(4-methyl-3-oxo-2,3,4,5-tetrahydro-1)-(2-(1H-Indol-3-yl)-ethyl-3-(4-methyl-3-oxo-2,3,4,5-tetrahydro-1)-(2-(1H-Indol-3-yl)-ethyl-3-(2-(1H-Indol-3-yl)-et |
| | 1H-pyrido[2,3- e][1,4]diazepin-7-yl)acrylamide hydrochloride; |
| | (E)- N -Methyl-3-(4-methyl-2-oxo-2,3,4,5-tetrahydro-1 H -pyrido[2,3- e][1,4]diazepin- |
| 20 | 7-yl)-N-(2,4,5-trimethoxy-benzyl)acrylamide hydrochloride; |
| | $(E)-N-\text{Methyl-3-}(4-\text{methyl-2-oxo-2,3,4,5-tetrahydro-1} H-\text{pyrido} [2,3-e] [1,4] \\ \text{diazepin-pyrido} [2,3-e] \\ diazepin-pyri$ |
| | 7-yl)-N-phenanthren-9-ylmethyl-acrylamide hydrochloride; |
| | (E)-N-Acenaphthen-5-ylmethyl-N-methyl-3-(4-methyl-2-oxo-2,3,4,5-tetrahydro- |
| | 1H-pyrido[2,3- e][1,4]diazepin-7-yl)acrylamide hydrochloride; |
| 25 | (E)- N - $(4$ -Methoxy-naphthalen-1ylmethyl)- N -methyl-3- $(4$ -methyl-2-oxo-2,3,4,5- |
| | tetrahydro- $1H$ -pyrido[2,3- e][1,4]diazepin-7-yl)acrylamide hydrochloride; |
| | (E)- N -Benzo[1,3]dioxol-5-ylmethyl- N -methyl-3-(4-methyl-2-oxo-2,3,4,5- |
| | tetrahydro- $1H$ -pyrido[2,3- e][1,4]diazepin-7-yl)acrylamide hydrochloride; |
| | (E)- N - $(2,5$ -Dimethoxy-benzyl)- N -methyl- 3 - $(4$ -methyl- 2 -oxo- $2,3,4,5$ -tetrahydro- $1H$ - |
| | pyrido[2,3-e][1,4]diazepin-7-yl)acrylamide hydrochloride; |
| | (E)- N -Methyl-3-(4-methyl-2-oxo-2,3,4,5-tetrahydro-1 H -pyrido[2,3-e][1,4]diazepin- |
| | 7-vl)-N-quinolin-4-vlmethyl-acrylamide hydrochloride |

| | (E)- N - $(4$ -Ethoxy-3-methoxy-benzyl)- N -methyl-3- $(4$ -methyl-2-oxo-2,3,4,5- |
|----|---|
| | tetrahydro-1H-pyrido[2,3-e][1,4]diazepin-7-yl)acrylamide hydrochloride; |
| | (E)-N-(2-Ethoxy-3-methoxy-benzyl)-N-methyl-3-(4-methyl-2-oxo-2,3,4,5- |
| | tetrahydro- $1H$ -pyrido[2,3- e][1,4]diazepin-7-yl)acrylamide hydrochloride; |
| 5 | (E)- N - $(3,4$ -Dimethyl-benzyl)- N -methyl- 3 - $(4$ -methyl- 2 -oxo- $2,3,4,5$ -tetrahydro- $1H$ - |
| | pyrido $[2,3-e][1,4]$ diazepin-7-yl)acrylamide hydrochloride; |
| | (E)- N -Methyl-3-(4-methyl-2-oxo-2,3,4,5-tetrahydro-1 H -pyrido[2,3- e][1,4]diazepin- |
| | 7-yl)- N -(2,4,6-trimethyl-benzyl)acrylamide hydrochloride; |
| | (E)- N -Methyl-3- $(4$ -methyl-2-oxo-2,3,4,5-tetrahydro- $1H$ -pyrido $[2,3-e][1,4]$ diazepin- |
| 10 | 7-yl)-N-(2,4,5-trimethyl-benzyl)acrylamide hydrochloride; |
| | (E)- N -Methyl-3- $(4$ -methyl-2-oxo-2,3,4,5-tetrahydro- $1H$ -pyrido $[2,3-e][1,4]$ diazepin- |
| | 7-yl)-N-quinolin-3-ylmethyl-acrylamide hydrochloride; |
| | (E)-N-(3,4-Dimethoxy-benzyl)-N-methyl-3-(4-methyl-2-oxo-2,3,4,5-tetrahydro-1H- |
| | pyrido[2,3-e][1,4]diazepin-7-yl)acrylamide hydrochloride; |
| 15 | (E)-N-Benzofuran-2-ylmethyl-N-methyl-3-(4-methyl-2-oxo-2,3,4,5-tetrahydro-1H- |
| | pyrido[2,3-e][1,4]diazepin-7-yl)acrylamide hydrochloride; |
| | (E)-N-Methyl-N-(2-methyl-naphthalen-1-ylmethyl)-3-(4-methyl-2-oxo-2,3,4,5- |
| | tetrahydro- $1H$ -pyrido[2,3- e][1,4]diazepin-7-yl)acrylamide hydrochloride; |
| | (E)-N-Biphenyl-2-ylmethyl-methyl-N-methyl-3-(4-methyl-2-oxo-2,3,4,5-tetrahydro |
| 20 | 1H-pyrido[2,3- e][1,4]diazepin-7-yl)acrylamide hydrochloride; |
| | (E)- N -Biphenyl-3-ylmethyl- N -methyl-3- $(4$ -methyl-2-oxo-2,3,4,5-tetrahydro-1 H - |
| | pyrido[2,3-e][1,4]diazepin-7-yl)acrylamide hydrochloride; |
| | (E)-N-(2-Ethoxy-napthalen-1-ylmethyl)-N-methyl-3-(4-methyl-2-oxo-2,3,4,5- |
| | tetrahydro- $1H$ -pyrido[2,3- e][1,4]diazepin-7-yl)acrylamide hydrochloride; |
| 25 | (E)- N - $(2$ -Ethoxy-benzyl)- N -methyl- 3 - $(4$ -methyl- 2 -oxo- 2 , 3 , 4 , 5 -tetrahydro- $1H$ - |
| | pyrido[2,3-e][1,4]diazepin-7-yl)acrylamide hydrochloride; |
| | (E)-N-Methyl-3-(4-methyl-2-oxo-2,3,4,5-tetrahydro-1 H -pyrido[2,3- e][1,4]diazepin- |
| | 7-yl)-N-(2,3,4-trimethoxy-benzyl)acrylamide hydrochloride; |
| | (E)- N - $(2,3$ -Dihydro-benzo $[1,4]$ dioxin-6ylmethyl)- N -methyl-3- $(4$ -methyl-2-oxo- |
| 30 | 2,3,4,5-tetrahydro- $1H$ -pyrido $[2,3-e][1,4]$ diazepin-7-yl)acrylamide hydrochloride; |
| | (E)- N - $(2,3$ -Diethoxy-benzyl)- N -methyl- 3 - $(4$ -methyl- 2 -oxo- $2,3,4,5$ -tetrahydro- $1H$ - |
| | nyrido[2,3-e][1,4]diazenin-7-yl)acrylamide hydrochloride: |

| | (E)- N - $(3$ -Ethoxy-2-methoxy-benzyl)- N -methyl- 3 - $(4$ -methyl- 2 -oxo- 2 , 3 , 4 , 5 - |
|----|--|
| | tetrahydro-1H-pyrido[2,3-e][1,4]diazepin-7-yl)acrylamide hydrochloride; |
| | (E)-N-(2-Ethoxy-3-methyl-benzyl)-N-methyl-3-(4-methyl-2-oxo-2,3,4,5-tetrahydro- |
| | 1H-pyrido[2,3- e][1,4]diazepin-7-yl)acrylamide hydrochloride; |
| 5 | (E)-N-methyl-3-(4-methyl-2-oxo-2,3,4,5-tetrahydro-1 H -pyrido[2,3- e][1,4]diazepin- |
| | 7-yl)-N-quinolin-5ylmethyl-acrylamide hydrochloride; |
| | (E)- N - $(3$ -Methoxy-2-propoxy-benzyl)- N -methyl- 3 - $(4$ -methyl- 2 - 0 xo- 2 , 3 , 4 , 5 - |
| | tetrahydro- $1H$ -pyrido[2,3- e][1,4]diazepin-7-yl)acrylamide hydrochloride; |
| | (E)- N -(3-Methoxy-2-isopropoxy-benzyl)- N -methyl-3-(4-methyl-2-oxo-2,3,4,5- |
| 10 | tetrahydro- $1H$ -pyrido[2,3- e][1,4]diazepin-7-yl)acrylamide hydrochloride; |
| | (E)-N-methyl-N-(3-methyl-benzofuran-2-ylmethyl)-3-(4-methyl-2-oxo-2,3,4,5- |
| | tetrahydro- $1H$ -pyrido[2,3- e][1,4]diazepin-7-yl)acrylamide hydrochloride; |
| | (E)- N - $(3$ -Chloro-2-methoxy-benzyl)- N -methyl- 3 - $(4$ -methyl- 2 - oxo - 2 , 3 , 4 , 5 - |
| | tetrahydro- $1H$ -pyrido[2,3- e][1,4]diazepin-7-yl)acrylamide hydrochloride; |
| 15 | (E)-N-(3-Chloro-2-ethoxy-benzyl)-N-methyl-3-(4-methyl-2-oxo-2,3,4,5-tetrahydro- |
| | 1H-pyrido[2,3- e][1,4]diazepin-7-yl)acrylamide hydrochloride; |
| | (E)- N - $(2,3$ -Dihydro-benzo[1,4]dioxin-5-ylmethyl)- N -methyl-3- $(4$ -methyl-2-oxo- |
| | 2,3,4,5-tetrahydro-1 <i>H</i> -pyrido[2,3- <i>e</i>][1,4]diazepin-7-yl)acrylamide hydrochloride; |
| | (E)- N - $(4,5$ -Dimethyl-naphthalen-1-ylmethyl)- N -methyl-3- $(4$ -methyl-2-oxo-2,3,4,5- |
| 20 | tetrahydro- $1H$ -pyrido[2,3- e][1,4]diazepin-7-yl)acrylamide hydrochloride; |
| | (E)- N -Methyl- N - $(2$ -methyl-benzofuran- 3 -ylmethyl)- 3 - $(4$ -methyl- 2 -oxo- 2 , 3 , 4 , 5 - |
| | tetrahydro- $1H$ -pyrido[2,3- e][1,4]diazepin-7-yl)acrylamide hydrochloride; |
| | (E)-N-Methyl-3-(7-oxo-5,6,7,8-tetrahydro-[1,8]naphthyridin-3-yl)-N-quinolin-5- |
| | ylmethyl-acrylamide hydrochloride; |
| 25 | (E)-N-benzyl-N-methyl-3-(7-oxo-5,6,7,8-tetrahydro-[1,8]naphthyridin-3- |
| | yl)acrylamide; |
| | (E)-N-methyl-N-(1-methyl-1 H -indol-2-ylmethyl)-3-(4-methyl-2-oxo-2,3,4,5- |
| | tetrahydro- $1H$ -pyrido[2,3- e][1,4]diazepin-7-yl)acrylamide hydrochloride; |
| | (E)- $(7-\{2-[Methyl-(1-methyl-1H-indol-2-ylmethyl)carbamoyl]vinyl\}-2-oxo-1,2,3,5-indol-2-ylmethyl)carbamoyl]vinyl}$ |
| 30 | tetrahydro-pyrido[2,3-e][1,4]diazepin-4-yl)acetic acid ethyl ester hydrochloride; |
| | (E)-N-(2,3-Dimethoxy-benzyl)-N-methyl-3-(4-methyl-2-oxo-2,3,4,5-tetrahydro-1H- |
| | pyrido[2,3-e][1,4]diazepin-7-yl)acrylamide hydrochloride; |

| | (E)-N-Methyl-N-(4-methyl-naphthalen-1-ylmethyl)-3-(4-methyl-2-oxo-2,3,4,5- |
|----|--|
| | tetrahydro-1H-pyrido[2,3-e][1,4]diazepin-7-yl)acrylamide hydrochloride; |
| | (E)-N-(2-Methoxy-naphthalen-1-ylmethyl)-N-methyl-3-(4-methyl-2-oxo-2,3,4,5- |
| | tetrahydro-1H-pyrido[2,3-e][1,4]diazepin-7-yl)acrylamide hydrochloride; |
| 5 | I-(+)-(E)- N -Methyl-3-(4-methyl-2-oxo-2,3,4,5-tetrahydro-1 H -pyrido[2,3- |
| | e][1,4]diazepin-7-yl)-N-(1-naphthalen-1-yl-ethyl)acrylamide hydrochloride; |
| | (S)- $(-)$ - (E) - N -Methyl-3- $(4$ -methyl-2-oxo-2,3,4,5-tetrahydro-1 H -pyrido[2,3- |
| | e][1,4]diazepin-7-yl)-N-(1-naphthalen-1-yl-ethyl)acrylamide hydrochloride; |
| | (E)-N-Benzo[b]thiophen-2-ylmethyl-N-methyl-3-(4-methyl-2-oxo-2,3,4,5- |
| 10 | tetrahydro-1H-pyrido[2,3-e][1,4]diazepin-7-yl)acrylamide hydrochloride; |
| | (E)-N-methyl-3-(4-methyl-2-oxo-2,3,4,5-tetrahydro-1 H -pyrido[2,3- e][1,4]diazepin |
| | 7-yl)-N-(3-trifluoromethyl-benzyl)acrylamide hydrochloride; |
| | (E)- N -(2-Chloro-benzyl)- N -methyl-3-(4-methyl-2-oxo-2,3,4,5-tetrahydro-1 H - |
| | pyrido[2,3-e][1,4]diazepin-7-yl)acrylamide hydrochloride; |
| 15 | (E)-N-Methyl-N-(4-methyl-benzyl)-3-(4-methyl-2-oxo-2,3,4,5-tetrahydro- $1H$ - |
| | pyrido[2,3-e][1,4]diazepin-7-yl)acrylamide hydrochloride; |
| | (R) -(-)- (E) -N-Methyl-N-(1-methyl-1 H -indol-2-ylmethyl)-3-(10-oxo-2,3,4,9,10,10 $^{\rm a}$ - |
| | hexahydro-1H-3 ^a ,8,9-triaza-benzo[f]azulen-6-yl)acrylamide hydrochloride; |
| | (S)- $(+)$ - (E) - N -Methyl- N - $(1$ -methyl- $1H$ -indol- 2 -ylmethyl)- 3 - $(10$ -oxo- 2 , 3 , 4 , 9 , 10 , 10 ^{2} - 10 0. |
| 20 | hexahydro-1H-3 ^a ,8,9-triaza-benzo[f]azulen-6-yl)acrylamide hydrochloride; |
| | (E)-3-[4-(4-Methoxy-benzyl)-2-oxo-2,3,4,5-tetrahydro-1H-pyrido[2,3- |
| | e][1,4]diazepin-7-yl]- N -methyl- N -(1-methyl-1 H -indol-2-ylmethyl)acrylamide |
| | hydrochloride; |
| | (E)-N-Methyl-N-(1-methyl-1H-indol-2-ylmethyl)-3-(2-oxo-2,3,4,5-tetrahydro-1H- |
| 25 | pyrido[2,3-e][1,4]diazepin-7-yl)acrylamide hydrochloride; |
| | (E)- N -Methyl- N - $(3$ -methyl-benzo $[b]$ thiophen- 2 -ylmethyl)- 3 - $[4$ - $(2$ -morpholin- 4 -yl- |
| | ethyl)-2-oxo-2,3,4,5-tetrahydro-1 <i>H</i> -pyrido[2,3-e][1,4]diazepin-7-yl]acrylamide |
| | hydrochloride; |
| | (E) - N -Methyl- N - $(3$ -methyl-benzo[b]thiophen- 2 -ylmethyl)- 3 - $\{4$ - $[2$ - $(4$ -methyl- |
| 30 | piperazin-1-yl)-2-oxo-ethyl]-2-oxo-2,3,4,5-tetrahydro-1H-pyrido[2,3- |
| | all Aldiazania 7 vl) agrulamida hydrochlorida |

| | (E)- N -Methyl- N - $(3$ -methyl-benzo[b]thiophen- 2 -ylmethyl)- 3 - $[4$ - $(3$ -morpholin- 4 -yl- |
|----|--|
| | propyl)-2-oxo-2,3,4,5-tetrahydro-1H-pyrido[2,3-e][1,4]diazepin-7-yl]acrylamide |
| | hydrochloride; |
| | (E)-N-(2-Ethoxy-3-methoxy-benzyl)-N-methyl-3-{4-[2-(4-methyl-piperazin-1-yl)-2- |
| 5 | oxo-ethyl]-2-oxo-2,3,4,5-tetrahydro-1H-pyrido[2,3-e][1,4]diazepin-7-yl}acrylamide |
| | hydrochloride; |
| | (S)- $(+)$ - (E) - N -Methyl- N - $(3$ -methyl-benzo $[b]$ thiophen- 2 -ylmethyl)- 3 - $(10$ -oxo- |
| | 2,3,4,9,10,10 ^a -hexahydro-1 <i>H</i> -3 ^a ,8,9-triaza-benzo[f]azulen-6-yl)acrylamide |
| | hydrochloride; |
| 10 | (R)- $(-)$ - (E) - N -Methyl- N - $(3$ -methyl-benzo[b]thiophen- 2 -ylmethyl)- 3 - $(10$ -oxo- |
| | 2,3,4,9,10,10 ^a -hexahydro-1 <i>H</i> -3 ^a ,8,9-triaza-benzo[f]azulen-6-yl)acrylamide |
| | hydrochloride; |
| | (E)-N-(4-Fluoro-naphthalen-1-ylmethyl)-N-methyl-3-(4-methyl-2-oxo-2,3,4,5- |
| | tetrahydro- $1H$ -pyrido[2,3- e][1,4]diazepin-7-yl)acrylamide hydrochloride; |
| 15 | (E)-N-(4-Chloro-naphthalen-1-ylmethyl)-N-methyl-3-(4-methyl-2-oxo-2,3,4,5- |
| | tetrahydro- $1H$ -pyrido[2,3- e][1,4]diazepin-7-yl)acrylamide hydrochloride; |
| | (E)- N -Methyl- N - $(3$ -methyl-benzofuran- 2 -ylmethyl)- 3 - $[4$ - $(3$ -morpholin- 4 -yl-propyl)- |
| | 2-oxo-2,3,4,5-tetrahydro-1H-pyrido[2,3-e][1,4]diazepin-7-yl]acrylamide |
| | hydrochloride; |
| 20 | (E)- N - $(2$ -Isopropoxy- 3 -methoxy-benzyl)- N -methyl- 3 - $[4$ - $(3$ -morpholin- 4 -yl-propyl)- |
| | 2-oxo-2,3,4,5-tetrahydro-1 <i>H</i> -pyrido[2,3- <i>e</i>][1,4]diazepin-7-yl]acrylamide |
| | hydrochloride; |
| | (E)-N-Methyl-N-(3-methyl-benzo[b]thiophen-2-ylmethyl)-3- $\{4-[3-(4-methyl-methy$ |
| | piperazin-1-yl)propyl]-2-oxo-2,3,4,5-tetrahydro-1H-pyrido[2,3-e][1,4]diazepin-7- |
| 25 | yl}acrylamide hydrochloride; |
| | (E)-N-Methyl-N-(2-methyl-benzofuran-3-ylmethyl)-3-[4-(3-morpholin-4-yl-propyl)- |
| | 2-oxo- 2 , 3 , 4 , 5 -tetrahydro- $1H$ -pyrido[2 , 3 - e][1 , 4]diazepin- 7 -yl]acrylamide |
| | hydrochloride; |
| | (E)- N - $(3$ -Chloro-benzo $[b]$ thiophen- 2 -ylmethyl)- N -methyl- 3 - $(4$ -methyl- 2 -oxo- |
| 30 | 2,3,4,5-tetrahydro- $1H$ -pyrido $[2,3-e][1,4]$ diazepin- 7 -yl)acrylamide hydrochloride; |
| | (E)- N - $(5$ -Chloro-1-methyl-1 H -indol-2-ylmethyl)- N -methyl-3- $(4$ -methyl-2-oxo- |
| | 2,3,4,5-tetrahydro-1 <i>H</i> -pyrido[2,3-e][1,4]diazepin-7-vl)acrylamide hydrochloride: |

| | (E)- N - $(1,7$ -Dimethyl- $1H$ -indol- 2 -ylmethyl)- N -methyl- 3 - $(4$ -methyl- 2 -oxo- $2,3,4,5$ - |
|----|--|
| | tetrahydro- $1H$ -pyrido[2,3- e][1,4]diazepin-7-yl)acrylamide hydrochloride; |
| | (E)- N - $(5$ -Fluoro- 3 -methyl-benzo $[b]$ thiophen- 2 -ylmethyl)- N -methyl- 3 - $(4$ -methyl- 2 - |
| | 0xo-2,3,4,5-tetrahydro-1 H -pyrido[2,3- e][1,4]diazepin-7-yl)acrylamide |
| 5 | hydrochloride; |
| | (E)-N-(5-Chloro-3-methyl-benzo[b]thiophen-2-ylmethyl)-N-methyl-3-(4-methyl-2- |
| | oxo-2,3,4,5-tetrahydro-1 H -pyrido[2,3- e][1,4]diazepin-7-yl)acrylamide |
| | hydrochloride; |
| | (E)-3- $(6$ -Amino-5-morpholin-4-ylmethyl-pyridin-3-yl)- N - $(1,7$ -dimethyl- $1H$ -indol-2- |
| 10 | ylmethyl)-N-methyl-acrylamide hydrochloride; |
| | (E)-3-(6-Amino-5-morpholin-4-ylmethyl-pyridin-3-yl)-N-(2-ethoxy-3-methoxy- |
| | benzyl)-N-methyl-acrylamide hydrochloride; |
| | (E)- N -Methyl- N - $(1$ -methyl- $1H$ -indol- 3 -ylmethyl)- 3 - $(4$ -methyl- 2 -oxo- 2 , 3 , 4 , 5 - |
| | tetrahydro-1 <i>H</i> -pyrido[2,3-e][1,4]diazepin-7-yl)acrylamide; |
| 15 | (E)-7- $\{2-[Methyl-(1-methyl-1H-indol-3-ylmethyl)-carbamoyl]-vinyl\}-2-oxo-$ |
| | 1,2,3,5-tetrahydro-pyrido $[2,3-e][1,4]$ diazepine-4-carboxylic acid benzyl ester; |
| | (E)-3- $(2,4$ -Dioxo- $1,2,3,4$ -tetrahydro-pyrido $[2,3-d]$ pyrimidin-6-yl)- N -methyl- N - $(1-$ |
| | methyl-1H-indol-3-ylmethyl)acrylamide; |
| | (E)- N -Methyl- N - $(1$ -methyl- $1H$ -indol- 2 -ylmethyl)- 3 - $(2$ -oxo- 2 , 3 -dihydro- |
| 20 | oxazolo[4,5-b]pyridin-6-yl)acrylamide; |
| | (E)- N -Methyl- N - $(1$ -methyl- $1H$ -indol- 3 -ylmethyl)- 3 - $(2$ -oxo- 2 , 3 -dihydro- |
| | oxazolo[4,5-b]pyridin-6-yl)acrylamide; |
| | (E) -3-(6-Amino-5-{2-[methyl-(1-methyl-1 H -indol-2- |
| | ylmethyl)carbamoyl]ethyl}pyridin-3-yl)-N-methyl-N-(1-methyl-1H-indol-2- |
| 25 | ylmethyl)acrylamide; |
| | (E)-3- $(6$ -Amino-5-piperidin-1-ylmethyl-pyridin-3-yl)- N -methyl- N - $(1$ -methyl- $1H$ - |
| | indol-2-ylmethyl)acrylamide; |
| | (E)-3-(6-Amino-5-pyrrolidin-1-ylmethyl-pyridin-3-yl)- N -methyl- N -(1-methyl-1 H - |
| | indol-2-ylmethyl)acrylamide hydrochloride; |
| 30 | (E)-3-[6-Amino-5-(4-methyl-piperazin-1-ylmethyl)pyridin-3-yl]-N-methyl-N-(1- |
| | methyl-1 <i>H</i> -indol-2-ylmethyl)acrylamide hydrochloride; |
| | (E)-3-[6-Amino-5-(4-benzyl-piperidin-1-ylmethyl)pyridin-3-yl]-N-methyl-N-(1- |
| | methyl-1H-indol-2-ylmethyl)acrylamide hydrochloride: |

| | (E)-3-(6-Amino-5-pyrrolidin-1-ylmethyl-pyridin-3-yl)-N-methyl-N-naphthalen-2- |
|----|---|
| | ylmethyl-acrylamide hydrochloride; |
| | (E)-3-[6-Amino-5-(4-methyl-piperazin-1-ylmethyl)pyridin-3-yl]-N-methyl-N-(3- |
| | methyl-benzo[b]thiophen-2-ylmethyl)acrylamide hydrochloride; |
| 5 | (E)-3-(6-Amino-5-morpholin-4-ylmethyl-pyridin-3-yl)-N-methyl-N-(4-methyl- |
| | naphthalen-1-ylmethyl)acrylamide hydrochloride; |
| | (E)-3-(6-Amino-5-morpholin-4-ylmethyl-pyridin-3-yl)-N-methyl-N-(3-methyl- |
| | benzo[b]thiophen-2-ylmethyl)acrylamide hydrochloride; |
| | (E)-3-(6-Amino-5-morpholin-4-ylmethyl-pyridin-3-yl)-N-(3,4-dimethyl-thieno[2,3 |
| 10 | b]thiophen-2-ylmethyl)-N-methyl-acrylamide hydrochloride; |
| | (E)-3-[6-Amino-5-(4-methyl-piperazin-1-ylmethyl)pyridin-3-yl]-N-(2-ethoxy-3- |
| | methoxy-benzyl)-N-methyl-acrylamide hydrochloride; |
| | (E)-3-[6-Amino-5-(4-methyl-piperazin-1-ylmethyl)pyridin-3-yl]-N-methyl-N-(4- |
| | methyl-naphthalen-1-ylmethyl)acrylamide hydrochloride; |
| 15 | (E)-3-[6-Amino-5-(4-methyl-piperazin-1-ylmethyl)pyridin-3-yl]-N-benzofuran-2- |
| | ylmethyl-N-methyl-acrylamide hydrochloride; |
| | (E)-3-[6-Amino-5-(4-methyl-piperazin-1-ylmethyl)pyridin-3-yl]-N-(3-methoxy-2- |
| | propoxy-benzyl)-N-methyl-acrylamide hydrochloride; |
| | (E)-3-[6-Amino-5-(4-methyl-piperazin-1-ylmethyl)pyridin-3-yl]-N-(2-ethoxy-3- |
| 20 | methyl-benzyl)-N-methyl-acrylamide hydrochloride; |
| | (E)-N-(3-Methoxy-2-propoxy-benzyl)-N-methyl-3-(7-oxo-5,6,7,8-tetrahydro- |
| | [1,8]naphthyridin-3-yl)acrylamide hydrochloride; |
| | (E)- N - $(2$ -Isopropoxy- 3 -methoxy-benzyl)- N -methyl- 3 - $(7$ -oxo- 5 , 6 , 7 , 8 -tetrahydro- |
| | [1,8]naphthyridin-3-yl)acrylamide hydrochloride; |
| 25 | (E)- N - $(2$ -Ethoxy-3-methoxy-benzyl)- N -methyl-3- $(7$ -oxo-5,6,7,8-tetrahydro- |
| | [1,8]naphthyridin-3-yl)acrylamide hydrochloride; |
| | (E)-3-[6-(2,5-Dioxo-pyrrolidin-1-yl)pyridin-3-yl]- N -methyl- N -(1-methyl-1 H -indol- |
| | 2-ylmethyl)acrylamide; |
| | (E)-N-(5- $\{2-[Methyl-(1-methyl-1H-indol-2-ylmethyl)carbamoyl]vinyl\}$ pyridin-2- |
| 30 | yl)succinamide; |
| | $(E)-N-(5-\{2-[Methyl-(1-methyl-1H-indol-2-ylmethyl)carbamoyl]vinyl\}$ pyridin-2- |
| | vl)-4-(4-methyl-piperazin-1-yl)-4-oxo-hutyramide |

yl)-4-morpholin-4-yl-4-oxo-butyramide; (E)-1-Methyl-piperidine-4-carboxylic acid (5-{2-[methyl-(1-methyl-1H-indol-2ylmethyl)carbamoyl]vinyl}pyridin-2-yl)amide; (E)-N-Methyl-N-(1-methyl-1H-indol-2-ylmethyl)-3-[6-(2-pyridin-4-yl-5 acetylamino)pyridin-3-yl]acrylamide; (E)-1-Acetyl-piperidine-4-carboxylic acid (5-{2-[methyl-(1-methyl-1H-indol-2ylmethyl)carbamoyl]vinyl}pyridin-2-yl)amide; (E)-3-(6-Amino-pyridin-3-yl)-N-(2,3-dimethoxy-benzyl)-N-methyl-acrylamide; (E)-N-(4-Acetylamino-benzyl)-3-(6-amino-pyridin-3-yl)-N-methyl-acrylamide; 10 (E)-3-[3-(2-Dimethylamino-ethyl)-2-oxo-1,2,3,4-tetrahydro-pyrido[2,3-d]pyrimidin-6-yl]-N-methyl-N-(1-methyl-1H-indol-2-ylmethyl)acrylamide; (E)-N-Methyl-N-(1-methyl-1H-indol-2-ylmethyl)-3-[3-(2-morpholin-4-yl-ethyl)-2-(2-morpholin-4-yl-ethyl4-yl-ethyl4-yl-ethyl4-yl-ethyl4-yl-ethyl4-yl-ethyl4-yl-ethyl4-yl-ethyl4-yl-ethyloxo-1,2,3,4-tetrahydro-pyrido[2,3-d]pyrimidin-6-yl]acrylamide hydrochloride; (E)-N- Methyl-N- (4-methyl-naphthalen-1-ylmethyl)-3-[3-(2-morpholin-4-yl-ethyl)-3-[3-(2-morpholin-4-yl-ethyl)-3-[3-(2-morpholin-4-yl-ethyl)-3-[3-(3-morpho15 2-oxo-1,2,3,4-tetrahydro-pyrido[2,3-d]pyrimidin-6-yl]acrylamide hydrochloride; (E)-N-Acenaphthen-5-ylmethyl-N-methyl-3-[3-(2-morpholin-4-yl-ethyl)-2-oxo-1,2,3,4-tetrahydro-pyrido[2,3-d]pyrimidin-6-yl]acrylamide hydrochloride; (E)-N-(2-Ethoxy-3-methoxy-benzyl)-N-methyl-3-[3-(2-morpholin-4-yl-ethyl)-2-methyl-3-[3-(2-morpholin-4-yl-ethyl)-2-methyl-3-[3-(2-morpholin-4-yl-ethyl)-2-methyl-3-[3-(2-morpholin-4-yl-ethyl)-2-methyl-3-[3-(2-morpholin-4-yl-ethyl)-2-methyl-3-[3-(2-morpholin-4-yl-ethyl)-2-methyl-3-[3-(2-morpholin-4-yl-ethyl)-2-methyl-3-[3-(2-morpholin-4-yl-ethyl)-2-methyl-3-[3-(2-morpholin-4-yl-ethyl)-2-methyl-3-[3-(2-morpholin-4-yl-ethyl)-2-methyl-3-[3-(2-morpholin-4-yl-ethyl)-2-methyl-3-[3-(2-morpholin-4-yl-ethyl)-2-methyl-3-[3-(2-morpholin-4-yl-ethyl)-2-methyl-3-[3-(2-morpholin-4-yl-ethyl)-2-methyl-3-[3-(2-morpholin-4-yl-ethyl)-2-methyl-3-[3-(2-morpholin-4-yl-ethyl)-2-methyl-3-[3-(2-morpholin-4-yl-ethyl)-2-[3-(2-morpholin-4-yl-ethyl)-2-[3-(2-morpholin-4-yl-ethyl)-2-[3-(2-morpholin-4-yl-ethyl)-2-[3-(2-morpholin-4-yl-ethyl)-3-[3-(2-morpholin-4-yl-ethy20 oxo-1,2,3,4-tetrahydro-pyrido[2,3-d]pyrimidin-6-yl]acrylamide hydrochloride; $(E)-N-\text{Methyl-}N-(3-\text{methyl-benzo}[b] \\ \text{thiophen-2-ylmethyl})-3-[3-(2-\text{morpholin-4-yl-methyl-methyl})-3-[3-(2-\text{morpholin-4-yl-methyl-methyl})-3-[3-(2-\text{morpholin-4-yl-methyl$ ethyl)-2-oxo-1,2,3,4-tetrahydro-pyrido[2,3-d]pyrimidin-6-yl]acrylamide hydrochloride; (E)- $(6-\{2-[Methyl-(1-methyl-1H-indol-2-ylmethyl)carbamoyl]vinyl\}-2-oxo-1,4-$ 25 dihydro-2H-pyrido[2,3-d]pyrimidin-3-yl)acetic acid; Sodium (E)- $(6-\{2-[methyl-(1-methyl-1H-indol-2-ylmethyl)carbamoyl]vinyl\}-2-indol-2-ylmethyl)carbamoyl]vinyl}-2-indol-2-ylmethyl$ oxo-1,4-dihydro-2H-pyrido[2,3-d]pyrimidin-3-yl)acetate; Sodium (E)- $(6-\{2-[methyl-(3-methyl-benzo[b]thiophen-2$ ylmethyl)carbamoyl]vinyl}-2-oxo-1,4-dihydro-2H-pyrido[2,3-d]pyrimidin-3-30 yl)acetate; $\textit{(E)-N-} \textbf{Methyl-} N- (1-\text{methyl-}1H-\text{indol-}2-\text{ylmethyl}) - 3- \{3-[2-(4-\text{methyl-piperazin-}1-\text{methyl-}N-(1-\text{methyl-}1H-\text{indol-}2-\text{ylmethyl}) - 3- \{3-[2-(4-\text{methyl-piperazin-}1-\text{methyl-}N-(1-\text{methyl-}$ yl)-2-oxo-ethyl]-2-oxo-1,2,3,4-tetrahydro-pyrido[2,3-d]pyrimidin-6-yl}acrylamide hydrochloride;

| | (E) - N -Methyl- N - $(3$ -methyl-benzo $[b]$ thiophen- 2 -ylmethyl)- 3 - $\{3$ - $[2$ - $(4$ -methyl- |
|----|--|
| | piperazin-1-yl)-2-oxo-ethyl]-2-oxo-1,2,3,4-tetrahydro-pyrido[2,3-d]pyrimidin-6- |
| | yl}acrylamide hydrochloride; |
| | (E) - N -Methyl- N - $(3$ -methyl-benzo $[b]$ thiophen- 2 -ylmethyl)- 3 - $\{3$ - $[2$ - $(4$ -methyl- |
| 5 | piperazin-1-yl)-2-oxo-ethyl]-2-oxo-1,2,3,4-tetrahydro-pyrido[2,3-d]pyrimidin-6- |
| | yl}acrylamide hydrochloride; |
| | $(E) - 2 - Amino - 5 - \{2 - [methyl - (1 - methyl - 1H - indol - 2 - ylmethyl) carbamoyl] vinyl\} - N - (2 - methyl - (2 - methyl - 1H - indol - 2 - ylmethyl) carbamoyl] vinyl\} - N - (2 - methyl - (2 - methyl - 1H - indol - 2 - ylmethyl) carbamoyl] vinyl - N - (2 - methyl - 1H - indol - 2 - ylmethyl) carbamoyl] vinyl - N - (2 - methyl - 1H - indol - 2 - ylmethyl) carbamoyl] vinyl - N - (2 - methyl - 1H - indol - 2 - ylmethyl) carbamoyl] vinyl - N - (2 - methyl - 1H - indol - 2 - ylmethyl) carbamoyl] vinyl - N - (2 - methyl - 1H - indol - 2 - ylmethyl) carbamoyl] vinyl - N - (2 - methyl - 1H - indol - 2 - ylmethyl) carbamoyl] vinyl - N - (2 - methyl - 1H - indol - 2 - ylmethyl) carbamoyl] vinyl - N - (2 - methyl - 1H - indol - 2 - ylmethyl) carbamoyl] vinyl - N - (2 - methyl - 1H - indol - 2 - ylmethyl) carbamoyl] vinyl - N - (2 - methyl - 1H - indol - 2 - ylmethyl) carbamoyl] vinyl - N - (2 - methyl - 1H - indol - 2 - ylmethyl) carbamoyl] vinyl - N - (2 - methyl - 1H - indol - 2 - ylmethyl) carbamoyl] vinyl - N - (2 - methyl - 1H - indol - 2 - ylmethyl) carbamoyl] vinyl - N - (2 - methyl - 1H - indol - 2 - ylmethyl) carbamoyl - N - (2 - methyl - 1H - indol - 2 - ylmethyl) carbamoyl - N - (2 - methyl - 1H - indol - 2 - ylmethyl) carbamoyl - N - (2 - methyl - 1H - indol - 2 - ylmethyl) carbamoyl - N - (2 - methyl - 1H - indol - 2 - ylmethyl) carbamoyl - N - (2 - methyl - 1H - indol - 2 - ylmethyl) carbamoyl - N - (2 - methyl - 1H - indol - 2 - ylmethyl) carbamoyl - N - (2 - methyl - 1H - indol - 2 - ylmethyl) carbamoyl - N - (2 - methyl - 1H - indol - 2 - ylmethyl) carbamoyl - N - (2 - methyl - 1H - indol - 2 - ylmethyl) carbamoyl - N - (2 - methyl - 2 - ylmethyl) carbamoyl - N - (2 - methyl - 2 - ylmethyl) - N - (2 - methyl - 2 - ylmethyl) - N - (2 - methyl - 2 - ylmethyl) - N - (2 - methyl - 2 - ylmethyl) - N - (2 - methyl - 2 - ylmethyl) - N - (2 - methyl - 2 - ylmethyl) - N - (2 - methyl - 2 - ylmethyl) - N - (2 - methyl - 2 - ylmethyl) - (2 - methyl - 2 - ylmethyl) - (2 - methyl - 2 - ylmethyl) - (2 - methyl$ |
| | morpholin-4-yl-ethyl)nicotinamide hydrochloride; |
| | (E)- N - $(3$ -Methyl-benzo[b]thiophen- 2 -ylmethyl)- 3 - $[3$ - $(3$ -morpholin- 4 -yl-propyl)- 2 - |
| 10 | oxo-1,2,3,4-tetrahydro-pyrido[2,3-d]pyrimidin-6-yl]acrylamide hydrochloride; |
| | (E)- N - $(2$ -Ethoxy-3-methoxy-benzyl)- N -methyl-3- $[3$ - $(3$ -morpholin-4-yl-propyl)-2- |
| | oxo-1,2,3,4-tetrahydro-pyrido[2,3-d]pyrimidin-6-yl]acrylamide hydrochloride; |
| | (E)- N -(5-{2-[Methyl-(3-methyl-benzo[b]thiophen-2- |
| | ylmethyl)carbamoyl]vinyl}pyridin-2-yl)-4-(4-methyl-piperazin-1-yl)-4-oxo- |
| 15 | butyramide; |
| | (E)- N - $(2,3$ -Diethoxy-benzyl)- N -methyl- 3 - $[3$ - $(2$ -morpholin- 4 -yl-ethyl)- 2 -oxo- |
| | 1,2,3,4-tetrahydro-pyrido[2,3-d]pyrimidin-6-yl]acrylamide hydrochloride; |
| | $(E)-N-(2-\mathrm{Isopropoxy-3-methoxy-benzyl})-N-methyl-3-[3-(2-morpholin-4-yl-ethyl)-2-morpholin-4-yl-ethyl)-2-morpholin-4-yl-ethyl)-2-morpholin-4-yl-ethyl)-2-morpholin-4-yl-ethyl-2-mo$ |
| | oxo-1,2,3,4-tetrahydro-pyrido[2,3-d]pyrimidin-6-yl]acrylamide hydrochloride; |
| 20 | (E)- N - $(3$ -Methoxy-2-propoxy-benzyl)- N -methyl-3- $[3$ - $(2$ -morpholin-4-yl-ethyl)-2- |
| | oxo-1,2,3,4-tetrahydro-pyrido[2,3-d]pyrimidin-6-yl]acrylamide hydrochloride; |
| | (E) - N - Methyl-N - (3 - methyl-benzofuran-2 - ylmethyl) - 3 - [3 - (2 - morpholin-4 - yl-ethyl) - (3 - methyl-benzofuran-2 - ylmethyl) - (3 - morpholin-4 - yl-ethyl) - (3 - morpholin-4 - yl-ethyl4 - yl-et |
| | 2-oxo-1,2,3,4-tetrahydro-pyrido[2,3-d]pyrimidin-6-yl]acrylamide hydrochloride; |
| | $(E) - N - \text{Methyl-} N - (2 - \text{methyl-benzofuran-} 3 - \text{ylmethyl}) - 3 - [3 - (2 - \text{morpholin-} 4 - \text{yl-ethyl}) - (2 - \text{yl-ethyl}) - (2 - \text$ |
| 25 | 2-oxo-1,2,3,4-tetrahydro-pyrido[2,3-d]pyrimidin-6-yl]acrylamide hydrochloride; |
| | (E)- N - $(3$ -Chloro-2-ethoxy-benzyl)- N -methyl-3- $[3$ - $(2$ -morpholin-4-yl-ethyl)-2-oxo- |
| | 1,2,3,4-tetrahydro-pyrido[2,3-d]pyrimidin-6-yl]acrylamide hydrochloride; |
| | (E)-N-(4-Fluoro-naphthalen-1-ylmethyl)-N-methyl-3-[3-(2-morpholin-4-yl-ethyl)-2- |
| | oxo-1,2,3,4-tetrahydro-pyrido[2,3-d]pyrimidin-6-yl]acrylamide hydrochloride; |
| 30 | (E)- N - $(2,3$ -Dimethoxy-benzyl)- N -methyl- 3 - $(7$ -oxo- $5,6,7,8$ -tetrahydro- |
| • | [1,8]naphthyridin-3-yl)acrylamide; |
| | (E)-3-(6-Amino-5-morpholin-4-ylmethyl-pyridin-3-yl)- N -methyl- N -(1-methyl-1 H - |
| | indol-3-vlmethyl)acrylamide |

| | (E)-3-(6-Amino-pyridin-3-yl)-N-methyl-N-thieno[3,2-c]pyridin-2-ylmethyl-acrylamide; |
|----|--|
| | (E)- N -Methyl-3-(4-methyl-2-oxo-2,3,4,5-tetrahydro-1 H -pyrido[2,3-e][1,4]diazepin |
| | 7-yl)-N-thieno[3,2-c]pyridin-2-ylmethyl-acrylamide; |
| 5 | (E)-N-Methyl-3-(7-oxo-5,6,7,8-tetrahydro-[1,8]naphthyridin-3-yl)-N-thieno[3,2- |
| | c]pyridin-2-ylmethyl-acrylamide; |
| | (E)-3-(6-Amino-pyridin-3-yl)-N-(2-ethoxy-3-methoxy-benzyl)-N-methyl- |
| | acrylamide hydrochloride; |
| | (E)-3-(6-Amino-pyridin-3-yl)-N-(2-propoxy-3-methoxy-benzyl)-N-methyl- |
| 10 | acrylamide hydrochloride; |
| | (E)-3-(6-amino-pyridin-3-yl)-N-(2-isopropoxy-3-methoxy-benzyl)-N-methyl- |
| | acrylamide hydrochloride; |
| | (E)-N-Acenaphthen-5-ylmethyl-3-(6-amino-pyridin-3-yl)-N-methyl-acrylamide |
| | hydrochloride; |
| 15 | (E)- N - $(1H$ -Indol-5-ylmethyl)- N -methyl-3- $(4$ -methyl-2-oxo-2,3,4,5-tetrahydro-1 H - |
| | pyrido[2,3-e][1,4]diazepin-7-yl)-acrylamide; |
| | (E)-N-Methyl-N-(1-methylindol-5-ylmethyl)-3-(4-methyl-2-oxo-2,3,4,5-tetrahydro |
| | 1H-pyrido[2,3-e][1,4]diazepin-7-yl)-acrylamide; |
| | (E)- N - $(1H$ -Indol-7-ylmethyl)- N -methyl-3- $(4$ -methyl-2-oxo-2,3,4,5-tetrahydro-1 H - |
| 20 | pyrido[2,3-e][1,4]diazepin-7-yl)-acrylamide; |
| | (E)-N-Methyl-N-(1-methylindol-7-ylmethyl)-3-(4-methyl-2-oxo-2,3,4,5-tetrahydro- |
| | 1H-pyrido[2,3-e][1,4]diazepin-7-yl)-acrylamide; |
| | $(E)-N-(1H-\mathrm{Indol-6-ylmethyl})-N-\mathrm{methyl-3-(4-methyl-2-oxo-2,3,4,5-tetrahydro-1}H-\mathrm{methyl-2-oxo-2,3,4,5-tetrahydro-1}H-\mathrm{methyl-3-(4-methyl-2-oxo-2,3,4,5-tetrahydro-1}H-\mathrm{methyl-3-(4-methyl-2-oxo-2,3,4,5-tetrahydro-1}H-\mathrm{methyl-3-(4-methyl-2-oxo-2,3,4,5-tetrahydro-1}H-\mathrm{methyl-3-(4-methyl-2-oxo-2,3,4,5-tetrahydro-1}H-\mathrm{methyl-3-(4-methyl-2-oxo-2,3,4,5-tetrahydro-1}H-\mathrm{methyl-3-(4-methyl-2-oxo-2,3,4,5-tetrahydro-1}H-\mathrm{methyl-3-(4-methyl-2-oxo-2,3,4,5-tetrahydro-1}H-\mathrm{methyl-3-(4-methyl-2-oxo-2,3,4,5-tetrahydro-1}H-\mathrm{methyl-3-(4-methyl-2-oxo-2,3,4,5-tetrahydro-1}H-\mathrm{methyl-3-(4-methyl-2-oxo-2,3,4,5-tetrahydro-1}H-\mathrm{methyl-3-(4-methyl-2-oxo-2,3,4,5-tetrahydro-1}H-\mathrm{methyl-3-(4-methyl-2-oxo-2,3,4,5-tetrahydro-1}H-\mathrm{methyl-3-(4-methyl-2-oxo-2,3,4,5-tetrahydro-1}H-\mathrm{methyl-3-(4-methyl-2-oxo-2,3,4,5-tetrahydro-1}H-\mathrm{methyl-3-(4-methyl-2-oxo-2,3,4,5-tetrahydro-1}H-\mathrm{methyl-3-(4-methyl-2-oxo-2,3,4,5-tetrahydro-1)}H-\mathrm{methyl-3-(4-methyl-2-oxo-2,3,4,5-tetrahydro-1)}H-\mathrm{methyl-3-(4-methyl-2-oxo-2,3,4,5-tetrahydro-1)}H-\mathrm{methyl-3-(4-methyl-2-oxo-2,3,4,5-tetrahydro-1)}H-\mathrm{methyl-3-(4-methyl-2-oxo-2,3,4,5-tetrahydro-1)}H-\mathrm{methyl-3-(4-methyl-2-oxo-2,3,4,5-tetrahydro-1)}H-\mathrm{methyl-3-(4-methyl-2-oxo-2,3,4,5-tetrahydro-1)}H-\mathrm{methyl-3-(4-methyl-2-oxo-2,3,4,5-tetrahydro-1)}H-\mathrm{methyl-3-(4-methyl-2-oxo-2,3,4,5-tetrahydro-1)}H-\mathrm{methyl-3-(4-methyl-2-oxo-2,3,4,5-tetrahydro-1)}H-\mathrm{methyl-3-(4-methyl-2-oxo-2,3,4,5-tetrahydro-1)}H-\mathrm{methyl-3-(4-methyl-2-oxo-2,3,4,5-tetrahydro-1)}H-\mathrm{methyl-3-(4-methyl-2-oxo-2,3,4,5-tetrahydro-1)}H-\mathrm{methyl-3-(4-methyl-3-oxo-2,3,4,5-tetrahydro-1)}H-\mathrm{methyl-3-(4-methyl-3-oxo-2,3,4,5-tetrahydro-1)}H-\mathrm{methyl-3-(4-methyl-3-oxo-2,3,4,5-tetrahydro-1)}H-\mathrm{methyl-3-(4-methyl-3-oxo-2,3,4,5-tetrahydro-1)}H-\mathrm{methyl-3-(4-methyl-3-oxo-2,3,4,5-tetrahydro-1)}H-\mathrm{methyl-3-(4-methyl-3-oxo-2,3,4,5-tetrahydro-1)}H-\mathrm{methyl-3-(4-methyl-3-oxo-2,3,4,5-tetrahydro-1)}H-\mathrm{methyl-3-(4-methyl-3-oxo-2,3,4,5-tetrahydro-1)}H-\mathrm{methyl-3-(4-methyl-3-oxo-2,3,4,5-tetrahydro-1)}H-\mathrm{methyl-3-(4-methyl-3-oxo-2,3,4,5-tetrahydro-1)}H-$ |
| | pyrido[2,3-e][1,4]diazepin-7-yl)-acrylamide; |
| 25 | (E)- N -3- $(6$ -Amino-pyridin-3-yl)- N -methyl- N - $(2$ -methyl-benzofuran-3-ylmethyl)- |
| | acrylamide hydrochloride; |
| | (E)-3- $(3,3$ -Dimethyl-2-oxo-2,3,4,5-tetrahydro-1 H -pyrido $[2,3-e][1,4]$ diazepin-7-yl)- |
| | N-methyl-N-(3-methyl-benzofuran-2-ylmethyl)acrylamide hydrochloride; |
| | (E)- N -Methyl- N - $(3$ -methyl- $1H$ -inden- 2 -ylmethyl)- 3 - $(4$ -methyl- 2 -oxo- 2 , 3 , 4 , 5 - |
| 30 | tetrahydro- $1H$ -pyrido[2,3- e][1,4]diazepin-7-yl)acrylamide hydrochloride; |
| | (E) -3- $(6-\{2-[Methyl-(3-methyl-benzo[b]thiophen-2-ylmethyl)carbamoyl]vinyl}-2-$ |
| | oxo-1,4-dihydro-2 <i>H</i> -pyrido[2,3- <i>d</i>]pyrimidin-3-v1)propionic acid ethyl ester: |

(E)-3-(6-amino-5-cyano-pyridin-3-yl)-N-methyl-N-(1-methyl-1H-indol-2-ylmethyl)-acrylamide hydrochloroide; or (E)-N-methyl-N-(1-methyl-1H-indol-2-ylmethyl)-3-(2-oxo-1,2,3,4-tetrahydro-pyrido-[2,3-b]pyrazin-7-yl)-acrylamide.

- 5 21. The compound of claim 1, wherein the compound inhibits FabI with a K_i of about 5 μ M or less, about 1 μ M or less, about 100 nM or less, about 10 nM or less, or about 1 nM or less.
 - 22. The compound of claim 1, wherein the compound inhibits FabI with an IC₅₀ of about 30 μ M or less, about 1 μ M or less, about 100 nM or less, or about 10 nM or less.
 - 23. The compound of claim 1, wherein the compound inhibits FabI with an MIC of about 32 μg/mL or less, about 16 μg/mL or less, or about 8 μg/mL or less, about 4 μg/mL or less, about 2 μg/mL or less, about 1 μg/mL or less, about 0.5 μg/mL or less, about 0.25 μg/mL or less.
- 15 24. A pharmaceutical composition comprising a compound of claim 1 and a pharmaceutically acceptable carrier or excipient.

10

- 25. The composition of claim 24, wherein the composition is formulated for intraveneous administration.
- 26. The composition of claim 24, wherein the composition is formulated for injectable administration.
 - 27. The composition of claim 24, wherein the composition is formulated for topical application.
 - 28. The composition of claim 24, wherein the composition is formulated as a suppository.
- 25 29. The composition of claim 24, wherein the composition is formulated for systemic administration.
 - 30. The composition of claim 24, wherein the composition is formulated for oral administration.
- The composition of claim 30, wherein the composition is formulated in tablets such that the amount of compound provided in 20 tablets, if taken together, provides a dose of at least the ED₅₀ but no more than ten times the ED₅₀.

32. The composition of claim 24, wherein the composition is formulated for parenteral administration such that the amount of compound provided in 20 cc bolus injection provides a dose of at least the ED₅₀ but no more that ten times the ED₅₀.

- The composition of claim 24, wherein the composition is formulated for intravenous infusion such that the amount of compound provided in one liter of intravenous injectable solution provides a dose of at least the ED₅₀ but no more that ten times the ED₅₀.
 - 34. A pill for reducing bacterial levels in a subject with a bacteria related illness, comprising a compound of claim 1.
- 10 35. The pill of claim 34, wherein the pill provides effective bacterial treatment for at least about 8 hours.
 - 36. The pill of claim 34, wherein the pill provides effective bacterial treatment for at least about 12 hours.
- The pill of claim 34, wherein the pill provides effective bacterial treatment for at least about 24 hours.
 - 38. The pill of claim 34, wherein the pill provides effective bacterial treatment for at least about one week.
 - 39. A pack of pills in number sufficient for treatment of a bacterial illness, comprising a plurality of pills wherein each pill comprises a compound of claim 1.
- 20 40. The pack of pills of claim 39, wherein the pack contains at least 5 pills.
 - 41. The pack of pills of claim 39, wherein the pack contains at least 10 pills.
 - 42. The pack of pills of claim 39, wherein the pack contains at least 20 pills.
 - 43. A method of treating a subject with a bacterial illness comprising administering to the subject the pharmaceutical composition of claim 24.
- 25 44. The method of claim 43, wherein the compound inhibits the Fab I activity of a microbe with an IC₅₀ at least 1 order of magnitude lower than the IC₅₀ for inhibiting enoyl CoA hydratase of a mammal.
 - 45. The method of claim 44, wherein the mammal is a human.
- The method of claim 43, wherein the compound inhibits the Fab I activity of a microbe with a K_i at least 1 order of magnitude lower than the K_i for inhibiting enoyl CoA hydratase of a mammal.
 - 47. The method of claim 46, wherein the mammal is a human.

48. A method of disinfecting an inanimate surface comprising administering to the inanimate surface a compound of claim 1.

49. A kit comprising the pharmaceutical composition of claim 24 and instructions for use thereof.

5